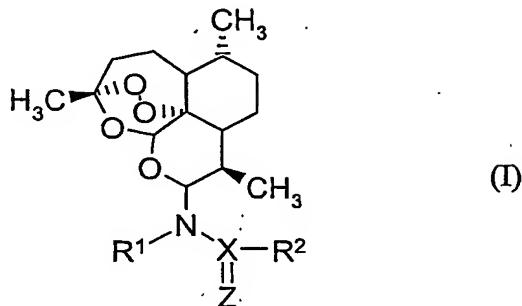


Claims

1. A compound of the general formula I

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or a salt thereof, or a solvate thereof, or a solvate of a salt thereof,

in which

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R<sup>1</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group;

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X represents a carbon atom, a sulfur atom, a sulfoxide group S=O or a group PR<sup>3</sup>, P-O-R<sup>3</sup> or P-N(R<sup>4</sup>)-R<sup>3</sup> where R<sup>3</sup> and R<sup>4</sup> each independently represent a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group;

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Z represents an oxygen atom, a sulfur atom or a group NR<sup>5</sup> where R<sup>5</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group; and

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R<sup>2</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, or a group N(R<sup>6</sup>)<sub>2</sub>, NH<sub>2</sub>, NR<sup>6</sup>NHR<sup>6</sup> or NR<sup>6</sup>N(R<sup>6</sup>)<sub>2</sub>, or a group OR<sup>6</sup> or SR<sup>6</sup> where each R<sup>6</sup> independently represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, or a 10a-

dihydroartemisinyl group, or R<sup>2</sup> represents a group OR<sup>7</sup> or NR<sup>6</sup>R<sup>7</sup> where R<sup>6</sup> represents a group as defined above and R<sup>7</sup> represents a bond attached as a substituent to R<sup>5</sup> together with the interjacent group -X=Z- forming an optionally substituted heterocyclic group where Z represents a group NR<sup>5</sup>, or R<sup>7</sup> represents a bond attached as a substituent to R<sup>1</sup> together with the interjacent group -N-X(=Z)- forming an optionally substituted heterocyclic group.

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2. A compound according to claim 1 in which R<sup>1</sup> represents a hydrogen atom, a methyl group, ethyl group or longer chain alkyl group or a branched alkyl group containing up to 9 carbon atoms, preferably a hydrogen atom, a methyl group or an ethyl group.
3. A compound according to claim 1 or 2 in which X represents a carbon atom, a sulfur atom, or a group PR<sup>3</sup>, P-O-R<sup>3</sup> or P-N(R<sup>4</sup>)-R<sup>3</sup> where R<sup>3</sup> and R<sup>4</sup> each independently represent a C<sub>6-18</sub> aryl group or a 5- to 10-membered C-linked heteroaryl group or a 5- to 10-membered heterocyclyl-C<sub>1-6</sub> alkyl group optionally substituted by one or more substituents selected from the group consisting of halogen atoms, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, amino, C<sub>1-4</sub> alkylamino, di(C<sub>1-4</sub> alkyl)amino and carboxyl groups.
4. A compound according to any of claims 1 to 3 in which Z represents an oxygen atom, or a group NR<sup>5</sup> where R<sup>5</sup> represents a hydrogen atom, a methyl group, ethyl group or longer chain alkyl group or branched alkyl group containing up to 9 carbon atoms, or a C<sub>6-18</sub> aryl group or a 5- to 10-membered C-linked heteroaryl group or a 5- to 10-membered heterocyclyl-C<sub>1-6</sub> alkyl group optionally substituted by one or more substituents selected from the group consisting of halogen atoms, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, amino, C<sub>1-4</sub> alkylamino, di(C<sub>1-4</sub> alkyl)amino and carboxyl groups.

5. A compound according to any of the preceding claims in which R<sup>2</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, or a group OR<sup>6</sup>, SR<sup>6</sup>, NH<sub>2</sub>, NHR<sup>6</sup>, or N(R<sup>6</sup>)<sub>2</sub> where each R<sup>6</sup> independently represents a methyl group, ethyl group or longer chain alkyl group or branched alkyl group containing up to 9 carbon atoms atoms, or is a C<sub>6-18</sub> aryl group or a 5- to 10-membered C-linked heteroaryl group or a 5- to 10-membered heterocyclyl-C<sub>1-6</sub> alkyl group optionally substituted by one or more substituents selected from the group consisting of halogen atoms, hydroxyl, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, C<sub>1-4</sub> haloalkyl, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, amino, C<sub>1-4</sub> alkylamino, di(C<sub>1-4</sub> alkyl)amino and carboxyl groups.

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6. A compound according to any of the preceding claims in which R<sup>1</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, preferably a hydrogen atom or an alkyl group, more preferably a hydrogen atom or a methyl group or an ethyl group; X represents a carbon, phosphorus or sulfur atom, preferably a carbon or sulfur atom; Z represents an oxygen atom or a group NR<sup>5</sup> in where R<sup>5</sup> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, preferably an oxygen atom; and R<sup>2</sup> represents a group OR<sup>6</sup>, SR<sup>6</sup>, NH<sub>2</sub>, NHR<sup>6</sup>, or N(R<sup>6</sup>)<sub>2</sub> where each R<sup>6</sup> independently represents a hydrogen atom or an optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl or aralkyl group, or a 10a-dihydroartemisinyl group, preferably a hydrogen atom or an optionally substituted alkyl or aryl group, more preferably R<sup>2</sup> represents a group NH<sub>2</sub>, or a group NHR<sup>6</sup> where R<sup>6</sup> represents an alkyl group, or a group N(R<sup>6</sup>)<sub>2</sub> where R<sup>6</sup> represent identical or differentiated alkyl groups.

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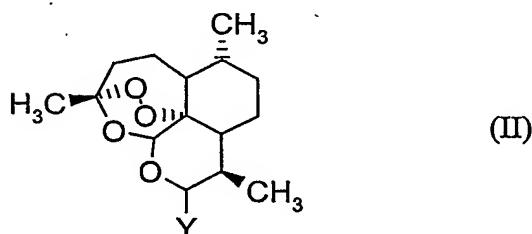
7. A compound according to any of the preceding claims in which R<sup>1</sup> represents a hydrogen atom, X represents a sulfoxide group S=O, Z represents an oxygen atom, and R<sup>2</sup> represents a group NH<sub>2</sub>; or in which R<sup>1</sup> represents a hydrogen atom, X represents a carbon atom, Z represents a group NH, and R<sup>2</sup>

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represents a group  $\text{NHR}^6$  where  $\text{R}^6$  represents a hydrogen atom or an optionally substituted alkyl, cycloalkyl, aryl or aralkyl group; or in which  $\text{R}^1$  represents a hydrogen atom,  $\text{X}$  represents a carbon atom,  $\text{Z}$  represents an oxygen atom, and  $\text{R}^2$  represents a group  $\text{NHR}^6$  where  $\text{R}^6$  is a hydrogen atom or an optionally substituted alkyl, cycloalkyl, aryl or aralkyl group.

8. A process for the preparation of a compound of the general formula I according to any of the preceding claims which comprises reacting a compound of the general formula II

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in which  $\text{Y}$  represents a group containing an oxygen atom attached to the carbon atom of the artemisinin nucleus and also to a hydrogen atom or trimethylsilyl group, with a suitable halogenating agent to form a compound of the general formula II in which  $\text{Y}$  represents a halogen atom; and, if desired, reacting the compound of general formula II thus formed with an amine of the general formula  $\text{R}^1\text{NHX}(\text{=Z})\text{R}^2$  where  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{X}$  and  $\text{Z}$  are as defined any of the preceding claims to form a compound of general formula I.

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25 9. A compound according to any of claims 1 to 7 for use in the treatment and/or prophylaxis of a disease.

10. A pharmaceutical composition which comprises a carrier and, as active ingredient, a compound according to any of claims 1 to 7.

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11. Use of a compound according to any of claims 1 to 7 for the manufacture of a medicament for the treatment and/or prophylaxis of a disease caused by infection with a parasite.
- 5 12. A pharmaceutical composition according to claim 10 for the treatment and/or prophylaxis of a disease caused by infection with a parasite.
- 10 13. A method for treating a disease caused by infection with a parasite which comprises administering to a host in need of such treatment a therapeutically effective amount of a compound according to any of claims 1 to 7.